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Apr 11, 2002

DOCUMENT-IDENTIFIER: US 20020042357 A1

TITLE: Polypharmacophoric agents

Detail Description Paragraph (93):

[0111] In particularly preferred embodiments, inventive polypharmacophoric scaffolds are prepared by utilizing domino reactions in which a desired number of specific simple components or substrates is provided and upon reaction are capable, through sequences in which a bond formation (or bond-breaking process) is combined with the formation of a new functionality, which again forms a new bond and a new functionality and so on. Domino reactions have been reviewed in the art and a wide variety of reactions can be employed to generate complex molecules in this fashion (Tietze et al. Curr. Opin. Chem. Biol. 1998, 2, 363). Although the use of domino reactions are preferred for the generation of the novel scaffolded polypharmacophores, one of ordinary skill in the art will realize that other reaction schemes may be utilized, although it is preferable that these schemes are able to produce the desired compounds easily and in good yield and are amenable to combinatorial techniques.

Detail Description Paragraph (159):

[0174] 16. Calabrese, V. P.; Lloyd, K. A.; Brancazio, P.; Cefali, E.; Martin, P.; Wall, J. Jr.; Sica, D. N-0923, a novel soluble dopamine D-2 agonist in the treatment of parkinsonsim. Mov. Disorder 1998, 13, 768-774. Tietze, L. F.; Lieb, M. E. Domino Reactions for Library Synthesis of Small Molecules in Combinatorial Chemistry. Curr. Opin. Chem. Biol. 1998, 2, 363-371.

Detail Description Paragraph (160):

[0175] 17. Tietze, L. F.; Lieb, M. E. Domino Reactions for Library Synthesis of Small Molecules in Combinatorial Chemistry. Curr. Opin. Chem. Biol. 1998, 2, 363-371. (a) Petasis, N.; Akritopoulou, I. The boronic acid mannich reaction: a new method for the synthesis of geometrically pure allylamines. Tet. Lett. 1993, 34, 583-586. (b) Petasis, N.; Zavialov, I. A. A New and Practical Synthesis of .alpha.-amino acids from alkenyl boronic acids. J. Am. Chem. Soc. 1997, 119, 445-446. (c) Petasis, N.; Zavialov, I. A. Highly Stereocontrolled One-Step Synthesis of anti-.beta.-amino alcohols from Organoboronic Acids, Amines and .alpha.-hydroxy aldehydes. J. Am. Chem. Soc. 1998, 120, 11798-11799.

CLAIMS:

2. The polypharmacophore of claim 1, wherein said scaffold unit is synthesized using a domino reaction.

9. The polypharmacophore of claim 8, wherein said scaffold unit is synthesized using a domino reaction.

16. The polypharmacophore of claim 15, wherein said scaffold unit is synthesized using a domino reaction.

23. The polypharmacophore of claim 22, wherein said scaffold unit is synthesized using a domino reaction.

30. The polypharmacophore of claim 29, wherein said scaffold unit is synthesized

using a domino reaction.

37. The polypharmacophore of claim 36, wherein said scaffold unit is synthesized using a domino reaction.